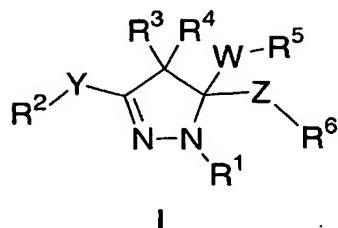


**WHAT IS CLAIMED IS:**

## 1. A compound of Formula I:



5 or a pharmaceutically acceptable salt or stereoisomer thereof, wherein

- a is 0 or 1;
- b is 0 or 1;
- m is 0, 1, or 2;
- 10 n is 0 to 2;
- u is 1, 2, 3, 4 or 5;

R<sup>1</sup> is selected from:

- 1) (C=X)C<sub>1</sub>-C<sub>10</sub> alkyl,
- 15 2) (C=X)aryl,
- 3) (C=X)C<sub>2</sub>-C<sub>10</sub> alkenyl,
- 4) (C=X)C<sub>2</sub>-C<sub>10</sub> alkynyl,
- 5) (C=X)C<sub>3</sub>-C<sub>8</sub> cycloalkyl,
- 6) (C=X)heterocyclyl,
- 20 7) (C=X)NR<sup>7</sup>R<sup>8</sup>,
- 8) (C=X)OC<sub>1</sub>-C<sub>10</sub> alkyl,
- 9) SO<sub>2</sub>NR<sup>7</sup>R<sup>8</sup>,
- 10) SO<sub>2</sub>C<sub>1</sub>-C<sub>10</sub> alkyl,
- 11) SO<sub>2</sub>C<sub>1</sub>-C<sub>10</sub> aryl,
- 25 12) SO<sub>2</sub>C<sub>1</sub>-C<sub>10</sub> heterocyclyl,
- 13) C<sub>1</sub>-C<sub>10</sub> alkyl,
- 14) aryl,
- 15) heteroaryl,
- 16) (CH<sub>2</sub>)<sub>u</sub>(C=O)C<sub>1</sub>-C<sub>10</sub> alkyl,

- 17)  $(CH_2)_u(C=O)NR^7R^8$ ,  
18) 3-pyrrolidinonyl, 3-piperidinonyl, 2-cyclopentanonyl, 2-cyclohexanonyl,  
19)  $(C=O)(C=O)C_1-C_{10}$  alkyl,  
5 20)  $(C=O)(C=O)NR^7R^8$ ,  
21)  $(C=O)(C=O)O C_1-C_{10}$  alkyl,  
said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, heteroaryl and heterocyclyl is optionally substituted with one or more substituents selected from R<sup>7</sup>; or

10 R<sup>2</sup> is selected from:

- 1) C<sub>1</sub>-C<sub>10</sub> alkyl,  
2) aryl,  
3) C<sub>2</sub>-C<sub>10</sub> alkenyl,  
4) C<sub>2</sub>-C<sub>10</sub> alkynyl,  
15 5) C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl,  
6) C<sub>1</sub>-C<sub>6</sub> aralkyl,  
7) C<sub>1</sub>-C<sub>6</sub> heteroaralkyl,  
8) C<sub>3</sub>-C<sub>8</sub> cycloalkyl, and  
9) heterocyclyl,

20 said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, aralkyl, heteroaralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R<sup>7</sup>;

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are independently selected from:

- 1) H,  
25 2) C<sub>1</sub>-C<sub>10</sub> alkyl,  
3) aryl,  
4) C<sub>2</sub>-C<sub>10</sub> alkenyl,  
5) C<sub>2</sub>-C<sub>10</sub> alkynyl,  
6) C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl,  
30 7) C<sub>1</sub>-C<sub>6</sub> aralkyl,  
8) C<sub>3</sub>-C<sub>8</sub> cycloalkyl, and  
9) heterocyclyl,

said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, aralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R<sup>7</sup>; or

R<sup>3</sup> and R<sup>4</sup>, or R<sup>5</sup> and R<sup>6</sup>, attached to the same carbon atom (W and Z are a bond) are combined to form -(CH<sub>2</sub>)<sub>u</sub>- wherein one of the carbon atoms is optionally replaced by a moiety selected from O, S(O)<sub>m</sub>, -N(R<sup>9</sup>)C(O)-, and -N(COR<sup>10</sup>)-;

5 R<sup>7</sup> is:

- 1) (C=O)<sub>a</sub>O<sub>b</sub>C<sub>1</sub>-C<sub>10</sub> alkyl,
- 2) (C=O)<sub>a</sub>O<sub>b</sub>aryl,
- 3) C<sub>2</sub>-C<sub>10</sub> alkenyl,
- 4) C<sub>2</sub>-C<sub>10</sub> alkynyl,
- 10 5) (C=O)<sub>a</sub>O<sub>b</sub> heterocyclyl,
- 6) CO<sub>2</sub>H,
- 7) halo,
- 8) CN,
- 9) OH,
- 15 10) O<sub>b</sub>C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl,
- 11) O<sub>a</sub>(C=O)<sub>b</sub>NR<sup>9</sup>R<sup>10</sup>,
- 12) S(O)<sub>m</sub>R<sup>a</sup>,
- 13) S(O)<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>,
- 14) oxo,
- 20 15) CHO,
- 16) (N=O)R<sup>9</sup>R<sup>10</sup>, or
- 17) (C=O)<sub>a</sub>O<sub>b</sub>C<sub>3</sub>-C<sub>8</sub> cycloalkyl,

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one or more substituents selected from R<sup>8</sup>;

25

R<sup>8</sup> is selected from:

- 1) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>1</sub>-C<sub>10</sub>)alkyl, wherein r and s are independently 0 or 1,
- 2) O<sub>r</sub>(C<sub>1</sub>-C<sub>3</sub>)perfluoroalkyl, wherein r is 0 or 1,
- 3) (C<sub>0</sub>-C<sub>6</sub>)alkylene-S(O)<sub>m</sub>R<sup>a</sup>, wherein m is 0, 1, or 2,
- 30 4) oxo,
- 5) OH,
- 6) halo,
- 7) CN,
- 8) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>2</sub>-C<sub>10</sub>)alkenyl,

- 9)  $(C=O)_r Os(C_2-C_{10})$  alkynyl,
- 10)  $(C=O)_r Os(C_3-C_6)$  cycloalkyl,
- 11)  $(C=O)_r Os(C_0-C_6)$  alkylene-aryl,
- 12)  $(C=O)_r Os(C_0-C_6)$  alkylene-heterocyclyl,
- 5 13)  $(C=O)_r Os(C_0-C_6)$  alkylene- $N(R^b)_2$ ,
- 14)  $C(O)R^a$ ,
- 15)  $(C_0-C_6)$  alkylene- $CO_2R^a$ ,
- 16)  $C(O)H$ ,
- 17)  $(C_0-C_6)$  alkylene- $CO_2H$ ,
- 10 18)  $C(O)N(R^b)_2$ ,
- 19)  $S(O)_m R^a$ ,
- 20)  $S(O)_2 NR^9 R^{10}$ , and
- 21)  $C(NH)NH_2$ ;

15 said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from  $R^b$ , OH,  $(C_1-C_6)$  alkoxy, halogen,  $CO_2H$ ,  $CN$ ,  $O(C=O)C_1-C_6$  alkyl, oxo, and  $N(R^b)_2$ ;

$R^9$  and  $R^{10}$  are independently selected from:

- 1) H,
- 20 2)  $(C=O)ObC_1-C_{10}$  alkyl,
- 3)  $(C=O)ObC_3-C_8$  cycloalkyl,
- 4)  $(C=O)Ob$  aryl,
- 5)  $(C=O)Ob$  heterocyclyl,
- 6)  $C_1-C_{10}$  alkyl,
- 25 7) aryl,
- 8)  $C_2-C_{10}$  alkenyl,
- 9)  $C_2-C_{10}$  alkynyl,
- 10) heterocyclyl,
- 11)  $C_3-C_8$  cycloalkyl,
- 30 12)  $SO_2R^a$ , and
- 13)  $(C=O)NR^b_2$ ,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from  $R^8$ , or

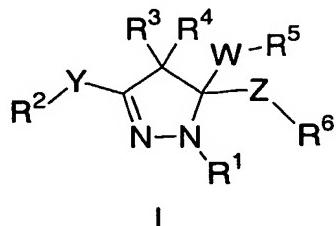
R<sup>9</sup> and R<sup>10</sup> can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 3-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally 5 substituted with one or more substituents selected from R<sup>8</sup>;

R<sup>a</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, aryl, or heterocyclyl; and  
R<sup>b</sup> is H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl, heterocyclyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C=O)OC<sub>1</sub>-C<sub>6</sub> alkyl,  
10 (C=O)C<sub>1</sub>-C<sub>6</sub> alkyl or S(O)<sub>2</sub>R<sup>a</sup>;

X is selected from O and S;

Y, W and Z are independently selected from: a bond, C=O, C=S, S(O)<sub>n</sub>, CH(OH) and  
15 O.

2. The compound according to Claim 1 of the Formula I:



or a pharmaceutically acceptable salt or stereoisomer thereof, wherein  
20  
a is 0 or 1;  
b is 0 or 1;  
m is 0, 1, or 2;  
n is 0 to 2;  
25 u is 2, 3, 4 or 5;

R<sup>1</sup> is selected from:

- 1) (C=X)C<sub>1</sub>-C<sub>10</sub> alkyl,
- 2) (C=X)aryl,

- 3)  $(C=X)C_2-C_{10}$  alkenyl,
- 4)  $(C=X)C_2-C_{10}$  alkynyl,
- 5)  $(C=X)C_3-C_8$  cycloalkyl,
- 6)  $(C=X)$ heterocyclyl,
- 5
- 7)  $(C=X)NR^7R^8$ ,
- 8)  $(C=X)OC_1-C_{10}$  alkyl,
- 9)  $SO_2NR^7R^8$ ,
- 10)  $SO_2C_1-C_{10}$  alkyl,
- 11)  $SO_2C_1-C_{10}$  aryl,
- 10
- 12)  $SO_2C_1-C_{10}$  heterocyclyl,
- 13)  $C_1-C_{10}$  alkyl,
- 14) aryl,
- 15) heteroaryl,
- 16)  $(CH_2)_u(C=O)C_1-C_{10}$  alkyl,
- 15
- 17)  $(CH_2)_u(C=O) NR^7R^8$ ,
- 18) 3-pyrrolidinonyl, 3-piperidinonyl, 2-cyclopentanonyl, 2-cyclohexanonyl,
- 19)  $(C=O)(C=O)C_1-C_{10}$  alkyl,
- 20)  $(C=O)(C=O)NR^7R^8$ ,
- 20
- 21)  $(C=O)(C=O)O C_1-C_{10}$  alkyl,

said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, heteroaryl and heterocyclyl is optionally substituted with one or more substituents selected from R<sup>7</sup>; or

R<sup>2</sup> is selected from:

- 25
- 1)  $C_1-C_{10}$  alkyl,
- 2) aryl,
- 3)  $C_2-C_{10}$  alkenyl,
- 4)  $C_2-C_{10}$  alkynyl,
- 5)  $C_1-C_6$  perfluoroalkyl,
- 30
- 6)  $C_1-C_6$  aralkyl,
- 7)  $C_1-C_6$  heteroaralkyl,
- 8)  $C_3-C_8$  cycloalkyl, and
- 9) heterocyclyl,

said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, aralkyl, heteroaralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R<sup>7</sup>;

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are independently selected from:

- 5        1)     H,
- 2)     C<sub>1</sub>-C<sub>10</sub> alkyl,
- 3)     aryl,
- 4)     C<sub>2</sub>-C<sub>10</sub> alkenyl,
- 5)     C<sub>2</sub>-C<sub>10</sub> alkynyl,
- 10      6)     C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl,
- 7)     C<sub>1</sub>-C<sub>6</sub> aralkyl,
- 8)     C<sub>3</sub>-C<sub>8</sub> cycloalkyl, and
- 9)     heterocyclyl,

15      said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, aralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R<sup>7</sup>; or

R<sup>3</sup> and R<sup>4</sup>, or R<sup>5</sup> and R<sup>6</sup>, attached to the same carbon atom (W and Z are a bond) are combined to form -(CH<sub>2</sub>)<sub>u</sub>- wherein one of the carbon atoms is optionally replaced by a moiety selected from O, S(O)<sub>m</sub>, -N(R<sup>9</sup>)C(O)-, and -N(COR<sup>10</sup>)-;

20

R<sup>7</sup> is:

- 1)     (C=O)<sub>a</sub>O<sub>b</sub>C<sub>1</sub>-C<sub>10</sub> alkyl,
- 2)     (C=O)<sub>a</sub>O<sub>b</sub>aryl,
- 3)     C<sub>2</sub>-C<sub>10</sub> alkenyl,
- 25    4)     C<sub>2</sub>-C<sub>10</sub> alkynyl,
- 5)     (C=O)<sub>a</sub>O<sub>b</sub> heterocyclyl,
- 6)     CO<sub>2</sub>H,
- 7)     halo,
- 8)     CN,
- 30    9)     OH,
- 10)    O<sub>b</sub>C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl,
- 11)    O<sub>a</sub>(C=O)<sub>b</sub>NR<sup>9</sup>R<sup>10</sup>,
- 12)    S(O)<sub>m</sub>R<sup>a</sup>,
- 13)    S(O)<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>,

- 14) oxo,
- 15) CHO,
- 16) (N=O)R<sup>9</sup>R<sup>10</sup>, or
- 17) (C=O)<sub>a</sub>O<sub>b</sub>C<sub>3</sub>-C<sub>8</sub> cycloalkyl,

5 said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one or more substituents selected from R<sup>8</sup>;

R<sup>8</sup> is selected from:

- 1) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>1</sub>-C<sub>10</sub>)alkyl, wherein r and s are independently 0 or 1,
- 10 2) O<sub>r</sub>(C<sub>1</sub>-C<sub>3</sub>)perfluoroalkyl, wherein r is 0 or 1,
- 3) (C<sub>0</sub>-C<sub>6</sub>)alkylene-S(O)<sub>m</sub>R<sup>a</sup>, wherein m is 0, 1, or 2,
- 4) oxo,
- 5) OH,
- 6) halo,
- 15 7) CN,
- 8) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>2</sub>-C<sub>10</sub>)alkenyl,
- 9) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>2</sub>-C<sub>10</sub>)alkynyl,
- 10) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>3</sub>-C<sub>6</sub>)cycloalkyl,
- 11) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>0</sub>-C<sub>6</sub>)alkylene-aryl,
- 20 12) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>0</sub>-C<sub>6</sub>)alkylene-heterocyclyl,
- 13) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>0</sub>-C<sub>6</sub>)alkylene-N(R<sup>b</sup>)<sub>2</sub>,
- 14) C(O)R<sup>a</sup>,
- 15) (C<sub>0</sub>-C<sub>6</sub>)alkylene-CO<sub>2</sub>R<sup>a</sup>,
- 16) C(O)H,
- 25 17) (C<sub>0</sub>-C<sub>6</sub>)alkylene-CO<sub>2</sub>H,
- 18) C(O)N(R<sup>b</sup>)<sub>2</sub>,
- 19) S(O)<sub>m</sub>R<sup>a</sup>, and
- 20) S(O)<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>,

30 said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from R<sup>b</sup>, OH, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, halogen, CO<sub>2</sub>H, CN, O(C=O)C<sub>1</sub>-C<sub>6</sub> alkyl, oxo, and N(R<sup>b</sup>)<sub>2</sub>;

R<sup>9</sup> and R<sup>10</sup> are independently selected from:

- 1) H,

- 2)  $(C=O)ObC_1-C_{10}$  alkyl,
- 3)  $(C=O)ObC_3-C_8$  cycloalkyl,
- 4)  $(C=O)Obaryl$ ,
- 5)  $(C=O)Obheterocyclyl$ ,
- 6)  $C_1-C_{10}$  alkyl,
- 7) aryl,
- 8)  $C_2-C_{10}$  alkenyl,
- 9)  $C_2-C_{10}$  alkynyl,
- 10) heterocyclyl,
- 11)  $C_3-C_8$  cycloalkyl,
- 12)  $SO_2R^a$ , and
- 13)  $(C=O)NR^b_2$ ,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from  $R^8$ , or

15       $R^9$  and  $R^{10}$  can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally 20      substituted with one or more substituents selected from  $R^8$ ;

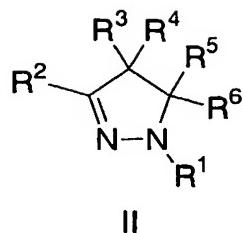
$R^a$  is  $(C_1-C_6)$ alkyl,  $(C_3-C_6)$ cycloalkyl, aryl, or heterocyclyl; and

25       $R^b$  is H,  $(C_1-C_6)$ alkyl, aryl, heterocyclyl,  $(C_3-C_6)$ cycloalkyl,  $(C=O)OC_1-C_6$  alkyl,  $(C=O)C_1-C_6$  alkyl or  $S(O)_2R^a$ ;

X is selected from O and S;

Y, W and Z are independently selected from: a bond, C=O, C=S,  $S(O)_n$ , CH(OH) and 30      O.

### 3. A compound of the Formula II,



wherein:

a is 0 or 1;

5 b is 0 or 1;

m is 0, 1, or 2;

n is 0 to 2;

R1 is selected from:

- 10 1) (C=O)C1-C10 alkyl,
- 2) (C=O)aryl,
- 3) (C=O)C2-C10 alkenyl,
- 4) (C=O)C2-C10 alkynyl,
- 5) (C=O)C3-C8 cycloalkyl,
- 15 6) (C=O)heterocyclyl,
- 7) (C=O)OC1-C10 alkyl,
- 8) (C=O)NR<sup>7</sup>R<sup>8</sup>,
- 9) SO<sub>2</sub>NR<sup>7</sup>R<sup>8</sup>,
- 10) SO<sub>2</sub>C1-C10 alkyl,
- 20 11) SO<sub>2</sub>C1-C10 aryl,
- 12) SO<sub>2</sub>C1-C10 heterocyclyl,

said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, and heterocyclyl is optionally substituted with one or more substituents selected from R<sup>7</sup>; or

25 R2 is selected from:

- 1) C1-C10 alkyl,
- 2) aryl,
- 3) C2-C10 alkenyl,
- 4) C2-C10 alkynyl,

- 5) C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl,
  - 6) C<sub>1</sub>-C<sub>6</sub> aralkyl,
  - 7) C<sub>3</sub>-C<sub>8</sub> cycloalkyl, and
  - 8) heterocyclyl,
- 5 said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, aralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R<sup>7</sup>;

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are independently selected from:

- 10 1) H,
- 2) C<sub>1</sub>-C<sub>10</sub> alkyl,
- 3) aryl,
- 4) C<sub>2</sub>-C<sub>10</sub> alkenyl,
- 5) C<sub>2</sub>-C<sub>10</sub> alkynyl,
- 6) C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl,
- 15 7) C<sub>1</sub>-C<sub>6</sub> aralkyl,
- 8) C<sub>3</sub>-C<sub>8</sub> cycloalkyl, and
- 9) heterocyclyl,

said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, aralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R<sup>7</sup>;

20

R<sup>7</sup> is:

- 1) (C=O)<sub>a</sub>O<sub>b</sub>C<sub>1</sub>-C<sub>10</sub> alkyl,
- 2) (C=O)<sub>a</sub>O<sub>b</sub>aryl,
- 3) C<sub>2</sub>-C<sub>10</sub> alkenyl,
- 25 4) C<sub>2</sub>-C<sub>10</sub> alkynyl,
- 5) (C=O)<sub>a</sub>O<sub>b</sub> heterocyclyl,
- 6) CO<sub>2</sub>H,
- 7) halo,
- 8) CN,
- 30 9) OH,
- 10) O<sub>b</sub>C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl,
- 11) O<sub>a</sub>(C=O)<sub>b</sub>NR<sup>9</sup>R<sup>10</sup>,
- 12) S(O)<sub>m</sub>R<sup>a</sup>,
- 13) S(O)<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>,

- 14) oxo,
- 15) CHO,
- 16) (N=O)R<sup>9</sup>R<sup>10</sup>, or
- 17) (C=O)<sub>a</sub>O<sub>b</sub>C<sub>3</sub>-C<sub>8</sub> cycloalkyl,

5 said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one, two or three substituents selected from R<sup>8</sup>;

R<sup>8</sup> is selected from:

- 1) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>1</sub>-C<sub>10</sub>)alkyl, wherein r and s are independently 0 or 1,
- 10 2) O<sub>r</sub>(C<sub>1</sub>-C<sub>3</sub>)perfluoroalkyl, wherein r is 0 or 1,
- 3) oxo,
- 4) OH,
- 5) halo,
- 6) CN,
- 15 7) (C<sub>2</sub>-C<sub>10</sub>)alkenyl,
- 8) (C<sub>2</sub>-C<sub>10</sub>)alkynyl,
- 9) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>3</sub>-C<sub>6</sub>)cycloalkyl,
- 10) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>0</sub>-C<sub>6</sub>)alkylene-aryl,
- 11) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>0</sub>-C<sub>6</sub>)alkylene-heterocyclyl,
- 20 12) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>0</sub>-C<sub>6</sub>)alkylene-N(R<sup>b</sup>)<sub>2</sub>,
- 13) C(O)R<sup>a</sup>,
- 14) (C<sub>0</sub>-C<sub>6</sub>)alkylene-CO<sub>2</sub>R<sup>a</sup>,
- 15) C(O)H,
- 16) (C<sub>0</sub>-C<sub>6</sub>)alkylene-CO<sub>2</sub>H, and
- 25 17) C(O)N(R<sup>b</sup>)<sub>2</sub>,
- 18) S(O)<sub>m</sub>R<sup>a</sup>, and
- 19) S(O)<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>

30 said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from R<sup>b</sup>, OH, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, halogen, CO<sub>2</sub>H, CN, O(C=O)C<sub>1</sub>-C<sub>6</sub> alkyl, oxo, and N(R<sup>b</sup>)<sub>2</sub>;

R<sup>9</sup> and R<sup>10</sup> are independently selected from:

- 1) H,

- 2)  $(C=O)O_bC_1-C_{10}$  alkyl,
- 3)  $(C=O)O_bC_3-C_8$  cycloalkyl,
- 4)  $(C=O)O_b$  aryl,
- 5)  $(C=O)O_b$  heterocyclyl,
- 5)  $C_1-C_{10}$  alkyl,
- 7) aryl,
- 8)  $C_2-C_{10}$  alkenyl,
- 9)  $C_2-C_{10}$  alkynyl,
- 10) heterocyclyl,
- 10)  $C_3-C_8$  cycloalkyl,
- 12)  $SO_2R^a$ , and
- 13)  $(C=O)NR^b_2$ ,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one, two or three substituents selected from  $R^8$ , or

15  $R^9$  and  $R^{10}$  can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one, two or three substituents selected from  $R^8$ ;

$R^a$  is  $(C_1-C_6)$  alkyl,  $(C_3-C_6)$  cycloalkyl, aryl, or heterocyclyl; and

25  $R^b$  is H,  $(C_1-C_6)$  alkyl, aryl, heterocyclyl,  $(C_3-C_6)$  cycloalkyl,  $(C=O)OC_1-C_6$  alkyl,

$(C=O)C_1-C_6$  alkyl or  $S(O)_2R^a$ .

4. The compound according to Claim 3 or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

30  $R^1$  is selected from:

- 1)  $(C=O)C_1-C_{10}$  alkyl,
- 2)  $(C=O)$  aryl,
- 3)  $(C=O)C_3-C_8$  cycloalkyl,
- 4)  $(C=O)$  heterocyclyl,

- 5)  $(C=O)OC_1-C_{10}$  alkyl,
- 6)  $SO_2NR^7R^8$ , and
- 7)  $SO_2C_1-C_{10}$  alkyl,

5 said alkyl, aryl, cycloalkyl, and heterocyclyl is optionally substituted with one, two or three substituents selected from R<sup>7</sup>;

R<sup>2</sup> is selected from:

- 1) C<sub>1</sub>-C<sub>10</sub> alkyl,
- 2) aryl, and
- 10 3) heteroaryl,

said alkyl, aryl and heteroaryl is optionally substituted with one or more substituents selected from R<sup>7</sup>;

R<sup>3</sup> and R<sup>4</sup> are independently selected from:

- 15 1) H, and
- 2) C<sub>1</sub>-C<sub>10</sub> alkyl,

said alkyl is optionally substituted with one or more substituents selected from R<sup>7</sup>;  
and

20 R<sup>5</sup> and R<sup>6</sup> are independently selected from:

- 1) H,
  - 2) C<sub>1</sub>-C<sub>10</sub> alkyl,
  - 3) aryl, and
  - 4) heterocyclyl,
- 25 said alkyl, aryl and heterocyclyl is optionally substituted with one or more substituents selected from R<sup>7</sup>;

and R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>a</sup> and R<sup>b</sup> are as described in Claim 2.

30 5. The compound according to Claim 4, or the pharmaceutically acceptable salt or stereoisomer thereof, wherein R<sup>2</sup> is phenyl, optionally substituted with one or two substituents selected from R<sup>7</sup>.

6. A compound selected from:

3-[1-acetyl-3-(2-chlorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol

3-[3-(2-chlorophenyl)-1-isobutyryl-4,5-dihydro-1H-pyrazol-5-yl]phenol

5      3-[1-acetyl-3-(2-chlorophenyl)-5-methyl-4,5-dihydro-1H-pyrazol-5-yl]phenol

3-[1-acetyl-3-(2,5-difluorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol

3-[1-Acetyl-3-(2-fluorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol

10

3-[1-Acetyl-3-(3-bromophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol

3-[1-Acetyl-3-(2,3-dichlorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol

15

3-[1-Acetyl-3-(2,5-dichlorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol

3-[1-Propionyl-3-(2-chlorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol

3-[1-Isobutyryl-3-(2-chlorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol

20

1-Acetyl-3-(2-chlorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazole

1-Acetyl-3-(3-chlorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazole

25

1-Acetyl-3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazole

1-Acetyl-3-(4-fluoro-3-hydroxyphenyl)-5-phenyl-4,5-dihydro-1H-pyrazole

1-{[3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-1-

30

yl]carbonyl}piperazine

3-(2,5-difluorophenyl)-N,N-dimethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

3-(2,5-difluorophenyl)-5-(3-hydroxyphenyl)-N,N-dimethyl-4,5-dihydro-1H-pyrazole-1-carboxamide

5       4-{[3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-1-yl]carbonyl}morpholine

3-[3-(2,5-difluorophenyl)-1-(morpholin-4-ylcarbonyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol

10     3-(2,5-difluorophenyl)- N,N-diethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

15     3-(2,5-difluorophenyl)-5-phenyl-1-(pyrrolidin-1-ylcarbonyl)-4,5-dihydro-1H-pyrazole

3-[3-(2-fluoro-5-methylphenyl)-1-(pyrrolidin-1-ylcarbonyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol

20     1-(azetidin-1-ylcarbonyl)-3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazole

3-[1-(azetidin-1-ylcarbonyl)-3-(5-chloro-2-fluorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol

25     1-(1-{[3-(5-chloro-2-fluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-1-yl]carbonyl}piperidin-2-yl)-N,N-dimethylmethanamine

3-(2,5-difluorophenyl)-1,5-dimethyl-5-phenyl-4,5-dihydro-1H-pyrazole

30     1-acetyl-3-(2,5-difluorophenyl)-5-methyl-5-phenyl-4,5-dihydro-1H-pyrazole

3-(2,5-difluorophenyl)-N,5-dimethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

3-(2,5-difluorophenyl)-N,N,5-trimethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

5       3-(2,5-difluorophenyl)-5-ethyl-N-methyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

10      3-(2,5-difluorophenyl)-5-(hydroxymethyl)-N-methyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

15      3-(2,5-difluorophenyl)-5-methyl-5-phenyl-1-(pyrrolidin-1-ylcarbonyl)-4,5-dihydro-1H-pyrazole

20      3-(2,5-difluorophenyl)-1-(2,5-dihydro-1H-pyrrol-1-ylcarbonyl)-5-methyl-5-phenyl-4,5-dihydro-1H-pyrazole

25      3-(2,5-difluorophenyl)-1,5-dimethyl-5-(3-hydroxyphenyl)-4,5-dihydro-1H-pyrazole  
ethyl [3-(2,5-difluorophenyl)-5-methyl-5-phenyl-4,5-dihydro-1H-pyrazol-1-yl]acetate

30      ethyl [3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-1-yl]acetate

35      ethyl 2-[3-(2,5-difluorophenyl)-5-methyl-5-phenyl-4,5-dihydro-1H-pyrazol-1-yl]propanoate

40      3-[3-(2,5-difluorophenyl)-1-(morpholin-4-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-amine

45      3-(2,5-difluorophenyl)-1-(methylsulfonyl)-5-phenyl-4,5-dihydro-1H-pyrazole

50      3-(2,5-difluorophenyl)-5-[3-(dimethylamino)propyl]-N-ethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

55      3-(2,5-difluorophenyl)-N-ethyl-5-{3-[(1H-imidazol-2-ylcarbonyl)amino]propyl}-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

5-(2-aminoethyl)-3-(2,5-difluorophenyl)-N-methyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

5 5-(3-aminopropyl)-3-(2,5-difluorophenyl)-N-ethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

5-(3-aminobutyl)-3-(2,5-difluorophenyl)-N-ethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

10 5-[3-(benzoylamino)propyl]-3-(2,5-difluorophenyl)-N-ethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

15 3-(2,5-difluorophenyl)-5-[4-(dimethylamino)butyl]-N-ethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

15 3-(2,5-difluorophenyl)-5-[4-(dimethylnitroaryl)but-1-yl]-N-ethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

20 5-[4-(benzylamino)butyl]-3-(2,5-difluorophenyl)-N-ethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

25 3-(2,5-difluorophenyl)-N-ethyl-5-phenyl-5-{4-[(pyridin-4-ylmethyl)amino]butyl}-4,5-dihydro-1H-pyrazole-1-carboxamide

30 3-[1-(azetidin-1-ylcarbonyl)-3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-ol

3-[1-(azetidin-1-ylcarbonyl)-3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-amine

30 3-[3-(5-chloro-2-fluorophenyl)-1-(2,5-dihydro-1H-pyrrol-1-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-ol

3-[3-(5-chloro-2-fluorophenyl)-1-(2,5-dihydro-1H-pyrrol-1-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-amine

5      3-[3-(5-chloro-2-fluorophenyl)-1-(morpholin-4-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-amine

N-{3-[3-(2,5-difluorophenyl)-1-(morpholin-4-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propyl}guanidine

10     5-(3-amino-3-phenylpropyl)-3-(2,5-difluorophenyl)-N,N-dimethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

15     3-[3-(2,5-difluorophenyl)-5-phenyl-1-(pyrrolidin-1-ylcarbonyl)-4,5-dihydro-1H-pyrazol-5-yl]-1-methylpropylamine

15     3-[3-(2,5-difluorophenyl)-5-phenyl-1-(pyrrolidin-1-ylcarbonyl)-4,5-dihydro-1H-pyrazol-5-yl]-1-(trifluoromethyl)propylamine

20     1-acetyl-3-(2,5-difluorophenyl)-4-methyl-5-phenyl-4,5-dihydro-1H-pyrazole

20     or a pharmaceutically acceptable salt or stereoisomer thereof.

7.     A compound selected from:

1-{[3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-1-

25     yl]carbonyl}piperazine TFA salt,

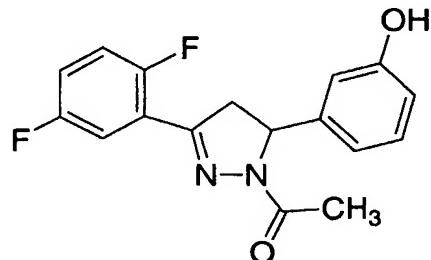
3-(2,5-difluorophenyl)-N-ethyl-5-phenyl-5-{4-[(pyridin-4-ylmethyl)amino]butyl}-4,5-dihydro-1H-pyrazole-1-carboxamide bis TFA salt,

30     3-[3-(5-chloro-2-fluorophenyl)-1-(2,5-dihydro-1H-pyrrol-1-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-amine hydrochloride salt, and

N-{3-[3-(2,5-difluorophenyl)-1-(morpholin-4-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propyl}guanidine TFA salt.

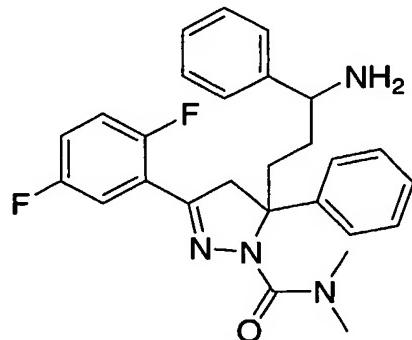
8. The compound according to Claim 6 which is selected from:

3-[1-acetyl-3-(2,5-difluorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol

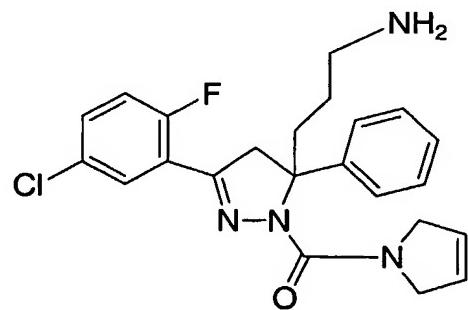


5

3-(2,5-difluorophenyl)-5-(3-hydroxy-3-phenylpropyl)-N,N-dimethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

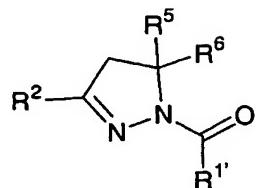


10 3-[3-(5-chloro-2-fluorophenyl)-1-(2,5-dihydro-1H-pyrrol-1-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-amine

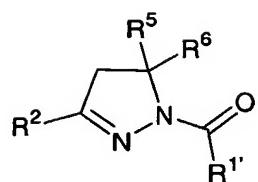


or a pharmaceutically acceptable salt or stereoisomer thereof.

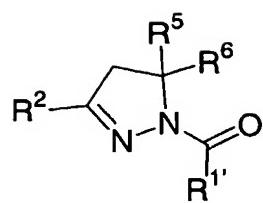
## 9. A compound selected from:



<b>R<sup>2</sup></b>	<b>R<sup>5</sup></b>	<b>R<sup>6</sup></b>	<b>R<sup>1'</sup></b>
2,5-dichlorophenyl	H	Ph	NMe <sub>2</sub>
2-fluoro-5-cyanophenyl	H	Ph	NMe <sub>2</sub>
2-fluoro-5-bromophenyl	H	Ph	NMe <sub>2</sub>
2-fluoro-5-hydroxymethylphenyl	H	Ph	NMe <sub>2</sub>
2-fluoro-5-chlorophenyl	H	Ph	NMe <sub>2</sub>
2-fluoro-5-nitrophenyl	H	Ph	NMe <sub>2</sub>
4-pyridyl	H	Ph	NMe <sub>2</sub>
3-pyridyl	H	Ph	NMe <sub>2</sub>
2-pyridyl	H	Ph	NMe <sub>2</sub>
isopropyl	H	Ph	NMe <sub>2</sub>
tert-butyl	H	Ph	NMe <sub>2</sub>
cyclopropyl	H	Ph	NMe <sub>2</sub>
isobutyl	H	Ph	NMe <sub>2</sub>
1-imidazolyl	H	Ph	NMe <sub>2</sub>
2-imidazolyl	H	Ph	NMe <sub>2</sub>
2-thiazolyl	H	Ph	NMe <sub>2</sub>

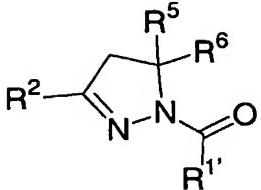
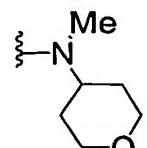
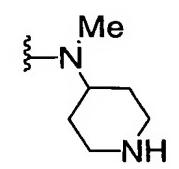
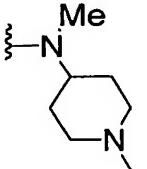
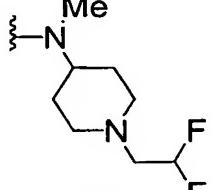
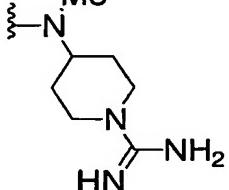


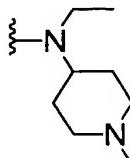
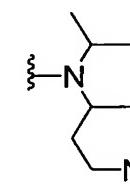
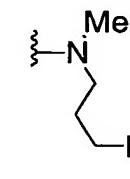
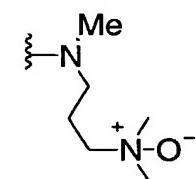
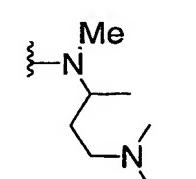
<b>R<sup>2</sup></b>	<b>R<sup>5</sup></b>	<b>R<sup>6</sup></b>	<b>R<sup>1'</sup></b>
2-oxazolyl	H	Ph	NMe <sub>2</sub>
3-isoxazolyl	H	Ph	NMe <sub>2</sub>
2-furanyl	H	Ph	NMe <sub>2</sub>
3-furanyl	H	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	H	3-hydroxyphenyl	NMe <sub>2</sub>
2,5-difluorophenyl	H	4-hydroxyphenyl	NMe <sub>2</sub>
2,5-difluorophenyl	H	3-aminophenyl	NMe <sub>2</sub>
2,5-difluorophenyl	H	3-(acetylamino)phenyl	NMe <sub>2</sub>
2,5-difluorophenyl	H	3-carboxyphenyl	NMe <sub>2</sub>
2,5-difluorophenyl	H	3-tetrazolylphenyl	NMe <sub>2</sub>
2,5-difluorophenyl	H	4-pyridyl	NMe <sub>2</sub>
2,5-difluorophenyl	H	3-pyridyl	NMe <sub>2</sub>
2,5-difluorophenyl	H	2-pyridyl	NMe <sub>2</sub>
2,5-difluorophenyl	H	2-pyrimidinyl	NMe <sub>2</sub>
2,5-difluorophenyl	H	6-indolyl	NMe <sub>2</sub>
2,5-difluorophenyl	H	4-indolyl	NMe <sub>2</sub>
2,5-difluorophenyl	H	6-benzimidazolyl	NMe <sub>2</sub>
2,5-difluorophenyl	H	1-imidazolyl	NMe <sub>2</sub>



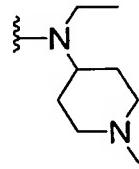
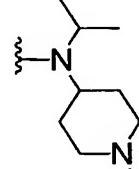
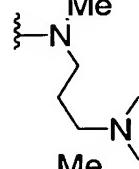
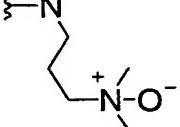
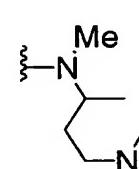
<b>R&lt;sup&gt;2&lt;/sup&gt;</b>	<b>R&lt;sup&gt;5&lt;/sup&gt;</b>	<b>R&lt;sup&gt;6&lt;/sup&gt;</b>	<b>R&lt;sup&gt;1'&lt;/sup&gt;</b>
2,5-difluorophenyl	H	2-imidazolyl	NMe<sub>2</sub>
2,5-difluorophenyl	H	2-thiazolyl	NMe<sub>2</sub>
2,5-difluorophenyl	H	2-oxazolyl	NMe<sub>2</sub>
2,5-difluorophenyl	H	3-isoxazolyl	NMe<sub>2</sub>
2,5-difluorophenyl	H	2-furanyl	NMe<sub>2</sub>
2,5-difluorophenyl	H	3-furanyl	NMe<sub>2</sub>
2,5-difluorophenyl	H	Ph	

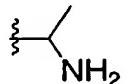
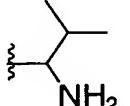
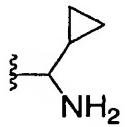
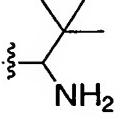
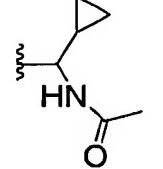
$\text{R}^2$	$\text{R}$	$\text{R}^6$	$\text{R}^{1'}$
2,5-difluorophenyl	H	Ph	

	$\mathbf{R}^2$	$\mathbf{R}^5$	$\mathbf{R}^6$	$\mathbf{R}^{1'}$
2,5-difluorophenyl	H		Ph	
2,5-difluorophenyl	H		Ph	
2,5-difluorophenyl	H		Ph	
2,5-difluorophenyl	H		Ph	
2,5-difluorophenyl	H		Ph	

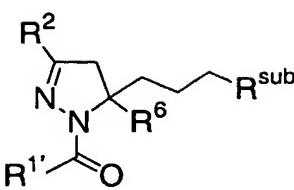
$\text{R}^2$	$\text{R}^5$	$\text{R}^6$	$\text{R}^{1'}$
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	

$\text{R}^2$	$\text{R}^{\text{sub}}$	$\text{R}^6$	$\text{R}^{1'}$
2,5-difluorophenyl	$\text{NH}_2$	Ph	

$\text{R}^2$	$\text{R}^{\text{sub}}$	$\text{R}^6$	$\text{R}^{1'}$
2,5-difluorophenyl	$\text{NH}_2$	Ph	
2,5-difluorophenyl	$\text{NH}_2$	Ph	
2,5-difluorophenyl	$\text{NH}_2$	Ph	
2,5-difluorophenyl	$\text{NH}_2$	Ph	
2,5-difluorophenyl	$\text{NH}_2$	Ph	

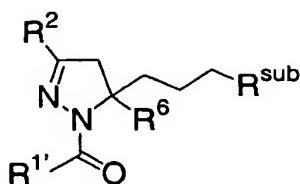
$\text{R}^2$	$\text{R}^{\text{sub}}$	$\text{R}^6$	$\text{R}'^1$
2,5-difluorophenyl	$\text{NH}_2$	Ph	
2,5-difluorophenyl	$\text{NH}_2$	Ph	
2,5-difluorophenyl	$\text{NH}_2$	Ph	
2,5-difluorophenyl	$\text{NH}_2$	Ph	
2,5-difluorophenyl	$\text{NH}_2$	Ph	

$\text{R}^2$	$\text{R}^{\text{sub}}$	$\text{R}^6$	$\text{R}'^1$
2,5-difluorophenyl	$\text{NH}_2$	Ph	

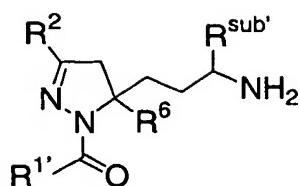
	<b>R<sup>2</sup></b>	<b>R<sup>sub</sup></b>	<b>R<sup>6</sup></b>	<b>R<sup>1'</sup></b>
2,5-difluorophenyl	NH <sub>2</sub>	3-hydroxyphenyl	NMe <sub>2</sub>	
2,5-difluorophenyl	NH <sub>2</sub>	4-hydroxyphenyl	NMe <sub>2</sub>	
2,5-difluorophenyl	NH <sub>2</sub>	3-aminophenyl	NMe <sub>2</sub>	
2,5-difluorophenyl	NH <sub>2</sub>	3-(acetylamino)phenyl	NMe <sub>2</sub>	
2,5-difluorophenyl	NH <sub>2</sub>	3-carboxyphenyl	NMe <sub>2</sub>	
2,5-difluorophenyl	NH <sub>2</sub>	3-tetrazolylphenyl	NMe <sub>2</sub>	
2,5-difluorophenyl	NH <sub>2</sub>	4-pyridyl	NMe <sub>2</sub>	
2,5-difluorophenyl	NH <sub>2</sub>	3-pyridyl	NMe <sub>2</sub>	
2,5-difluorophenyl	NH <sub>2</sub>	2-pyridyl	NMe <sub>2</sub>	
2,5-difluorophenyl	NH <sub>2</sub>	2-pyrimidinyl	NMe <sub>2</sub>	

	<b>R<sup>2</sup></b>	<b>R<sup>sub</sup></b>	<b>R<sup>6</sup></b>	<b>R<sup>1'</sup></b>
2,5-difluorophenyl	NH <sub>2</sub>		6-indolyl	NMe <sub>2</sub>
2,5-difluorophenyl	NH <sub>2</sub>		4-indolyl	NMe <sub>2</sub>
2,5-difluorophenyl	NH <sub>2</sub>		6-benzimidazolyl	NMe <sub>2</sub>
2,5-difluorophenyl	NH <sub>2</sub>		1-imidazolyl	NMe <sub>2</sub>
2,5-difluorophenyl	NH <sub>2</sub>		2-imidazolyl	NMe <sub>2</sub>
2,5-difluorophenyl	NH <sub>2</sub>		2-thiazolyl	NMe <sub>2</sub>
2,5-difluorophenyl	NH <sub>2</sub>		2-oxazolyl	NMe <sub>2</sub>
2,5-difluorophenyl	NH <sub>2</sub>		3-isoxazolyl	NMe <sub>2</sub>
2,5-difluorophenyl	NH <sub>2</sub>		2-furanyl	NMe <sub>2</sub>
2,5-difluorophenyl	NH <sub>2</sub>		3-furanyl	NMe <sub>2</sub>

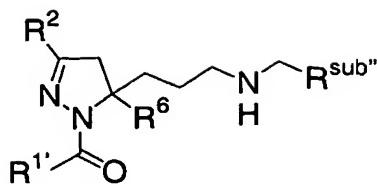
		<b>R&lt;sup&gt;2&lt;/sup&gt;</b>	<b>R&lt;sup&gt;sub&lt;/sup&gt;</b>	<b>R&lt;sup&gt;6&lt;/sup&gt;</b>	<b>R&lt;sup&gt;1'&lt;/sup&gt;</b>
.	2,5-dichlorophenyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>	
.	2-fluoro-5-cyanophenyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>	
.	2-fluoro-5-bromophenyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>	
.	2-fluoro-5-hydroxymethylphenyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>	
.	2-fluoro-5-chlorophenyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>	
.	2-fluoro-5-nitrophenyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>	
.	4-pyridyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>	
.	3-pyridyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>	
.	2-pyridyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>	



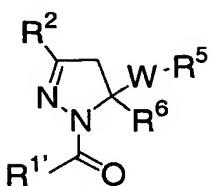
<b>R<sup>2</sup></b>	<b>R<sup>sub</sup></b>	<b>R<sup>6</sup></b>	<b>R<sup>1'</sup></b>
isopropyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>
tert-butyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>
cyclopropyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>
isobutyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>
1- imidazolyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>
2-imidazolyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>
2-thiazolyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>
2-oxazolyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>
3-isoxazolyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>
2-furanyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>
3-furanyl	NH <sub>2</sub>	Ph	NMe <sub>2</sub>



<b>R<sup>2</sup></b>	<b>R<sup>sub'</sup></b>	<b>R<sup>6</sup></b>	<b>R<sup>1'</sup></b>
2,5-difluorophenyl	phenyl	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	4-nitrophenyl	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	4-trifluoromethylphenyl	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	4-chlorophenyl	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	CO <sub>2</sub> Me	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	4-pyridyl	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	3-pyridyl	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	2-pyridyl	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	2-imidazolyl	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	CONH <sub>2</sub>	Ph	NMe <sub>2</sub>



<b>R&lt;sup&gt;2&lt;/sup&gt;</b>	<b>R&lt;sup&gt;sub&lt;/sup&gt;&lt;sup&gt;''&lt;/sup&gt;</b>	<b>R&lt;sup&gt;6&lt;/sup&gt;</b>	<b>R&lt;sup&gt;1&lt;/sup&gt;</b>
2,5-difluorophenyl	phenyl	Ph	NMe<sub>2</sub>
2,5-difluorophenyl	4-nitrophenyl	Ph	NMe<sub>2</sub>
2,5-difluorophenyl	4-trifluoromethylphenyl	Ph	NMe<sub>2</sub>
2,5-difluorophenyl	4-chlorophenyl	Ph	NMe<sub>2</sub>
2,5-difluorophenyl	CO<sub>2</sub>Me	Ph	NMe<sub>2</sub>
2,5-difluorophenyl	4-pyridyl	Ph	NMe<sub>2</sub>
2,5-difluorophenyl	3-pyridyl	Ph	NMe<sub>2</sub>
2,5-difluorophenyl	2-pyridyl	Ph	NMe<sub>2</sub>
2,5-difluorophenyl	2-imidazolyl	Ph	NMe<sub>2</sub>
2,5-difluorophenyl	4-cyanophenyl	Ph	NMe<sub>2</sub>



<b>R<sup>2</sup></b>	<b>W-R<sup>5</sup></b>	<b>R<sup>6</sup></b>	<b>R<sup>1'</sup></b>
2,5-difluorophenyl	-CH <sub>2</sub> CF <sub>2</sub> CH <sub>2</sub> NH <sub>2</sub>	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	-CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> NH <sub>2</sub>	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	-CH <sub>2</sub> CH <sub>2</sub> CH(CHF <sub>2</sub> )NH <sub>2</sub>	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	-CH <sub>2</sub> S(O) <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> NH <sub>2</sub>	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	-CH <sub>2</sub> OCF <sub>2</sub> CH <sub>2</sub> NH <sub>2</sub>	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	-CH <sub>2</sub> CH <sub>2</sub> CF <sub>2</sub> CH <sub>2</sub> NH <sub>2</sub>	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH(CHF <sub>2</sub> )NH <sub>2</sub>	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	-CH <sub>2</sub> CH(OH)CH <sub>2</sub> CH <sub>2</sub> NH <sub>2</sub>	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	-CH <sub>2</sub> CH(OH)CH <sub>2</sub> NH <sub>2</sub>	Ph	NMe <sub>2</sub>
2,5-difluorophenyl	-CH <sub>2</sub> C(O)CH <sub>2</sub> CH <sub>2</sub> NH <sub>2</sub>	Ph	NMe <sub>2</sub>

or a pharmaceutically acceptable salt or stereoisomer thereof.

10. A pharmaceutical composition that is comprised of a compound in accordance with Claim 1 and a pharmaceutically acceptable carrier.

11. A method of treating or preventing cancer in a mammal in need  
5 of such treatment that is comprised of administering to said mammal a therapeutically effective amount of a compound of Claim 1.

12. A method of treating cancer or preventing cancer in accordance with Claim 11 wherein the cancer is selected from cancers of the brain, genitourinary  
10 tract, lymphatic system, stomach, larynx and lung.

13. A method of treating or preventing cancer in accordance with Claim 11 wherein the cancer is selected from histiocytic lymphoma, lung adenocarcinoma, small cell lung cancers, pancreatic cancer, glioblastomas and breast  
15 carcinoma.

14. A process for making a pharmaceutical composition which comprises combining a compound of Claim 1 with a pharmaceutically acceptable carrier.

20

15. The composition of Claim 9 further comprising a second compound selected from:

- 1) an estrogen receptor modulator,
- 2) an androgen receptor modulator,
- 25 3) a retinoid receptor modulator,
- 4) a cytotoxic agent,
- 5) an antiproliferative agent,
- 6) a prenyl-protein transferase inhibitor,
- 7) an HMG-CoA reductase inhibitor,
- 30 8) an HIV protease inhibitor,
- 9) a reverse transcriptase inhibitor,
- 10) an angiogenesis inhibitor, and
- 11) a PPAR- $\gamma$  agonist,
- 12) a PPAR- $\delta$  agonists;

- 13) an inhibitor of cell proliferation and survival signaling, and
- 14) an agent that interferes with a cell cycle checkpoint.

16. The composition of Claim 15, wherein the second compound  
5 is an angiogenesis inhibitor selected from the group consisting of a tyrosine kinase inhibitor, an inhibitor of epidermal-derived growth factor, an inhibitor of fibroblast-derived growth factor, an inhibitor of platelet derived growth factor, an MMP inhibitor, an integrin blocker, interferon- $\alpha$ , interleukin-12, pentosan polysulfate, a cyclooxygenase inhibitor, carboxyamidotriazole, combretastatin A-4, squalamine,  
10 6-O-(chloroacetyl-carbonyl)-fumagillo, thalidomide, angiostatin, troponin-1, and an antibody to VEGF.

17. The composition according to Claim 10 further comprising a proteosome inhibitor.

15

18. The composition according to Claim 10 further comprising a aurora kinase inhibitor.

20

19. The composition according to Claim 10 further comprising a Raf kinase inhibitor.

20. The composition according to Claim 10 further comprising a serine/threonine kinase inhibitor.

25

21. The composition according to Claim 10 further comprising an inhibitor of another mitotic kinesin which is not KSP.

22. The composition of Claim 16, wherein the second compound is an estrogen receptor modulator selected from tamoxifen and raloxifene.

30

23. A method of treating cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with radiation therapy.

24. A method of treating or preventing cancer that comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a compound selected from:

- 1) an estrogen receptor modulator,
- 2) an androgen receptor modulator,
- 3) a retinoid receptor modulator,
- 4) a cytotoxic agent,
- 5) an antiproliferative agent,
- 6) a prenyl-protein transferase inhibitor,
- 7) an HMG-CoA reductase inhibitor,
- 8) an HIV protease inhibitor,
- 9) a reverse transcriptase inhibitor,
- 10) an angiogenesis inhibitor,
- 11) PPAR- $\gamma$  agonists,
- 12) PPAR- $\delta$  agonists,
- 13) an inhibitor of inherent multidrug resistance,
- 14) an anti-emetic agent,
- 15) an agent useful in the treatment of anemia,
- 16) an agent useful in the treatment of neutropenia,
- 17) an immunologic-enhancing drug,
- 18) an inhibitor of cell proliferation and survival signaling, and
- 19) an agent that interferes with a cell cycle checkpoint.

25. A method of treating cancer that comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with radiation therapy and a compound selected from:

- 1) an estrogen receptor modulator,
- 2) an androgen receptor modulator,
- 3) a retinoid receptor modulator,
- 4) a cytotoxic agent,
- 5) an antiproliferative agent,
- 6) a prenyl-protein transferase inhibitor,
- 7) an HMG-CoA reductase inhibitor,
- 8) an HIV protease inhibitor,

- 9) a reverse transcriptase inhibitor,
- 10) an angiogenesis inhibitor,
- 11) PPAR- $\gamma$  agonists,
- 12) PPAR- $\delta$  agonists,
- 5 13) an inhibitor of inherent multidrug resistance,
- 14) an anti-emetic agent,
- 15) an agent useful in the treatment of anemia,
- 16) an agent useful in the treatment of neutropenia,
- 17) an immunologic-enhancing drug,
- 10 18) an inhibitor of cell proliferation and survival signaling, and
- 19) an agent that interferes with a cell cycle checkpoint.

26. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 and paclitaxel or trastuzumab.

27. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 and a GPIIb/IIIa antagonist.

20 28. The method of Claim 27 wherein the GPIIb/IIIa antagonist is tirofiban.

25 29. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a COX-2 inhibitor.

30 30. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a proteosome inhibitor.

31. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with an aurora kinase inhibitor.

32. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a Raf kinase inhibitor.

5 33. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a serine/threonine kinase inhibitor.

10 34. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with an inhibitor of a mitotic kinesin that is not KSP.

15 35. A method of modulating mitotic spindle formation which comprises administering a therapeutically effective amount of a compound of Claim 1.

36. A method of inhibiting the mitotic kinesin KSP which comprises administering a therapeutically effective amount of a compound of Claim 1.